

addition of claims) are hereby authorized to be charged to our Deposit Account No. 19-0036.

### *Amendments*

#### *In the Title:*

Please substitute the following Title of the Invention for the pending Title of the Invention:

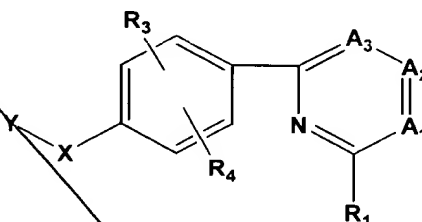
Aryl Substituted Pyrimidines

#### *In the Claims:*

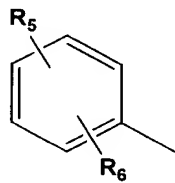
Please cancel claims 13, 16, 27, 30, 40, 49, and 52-58 without prejudice or disclaimer.

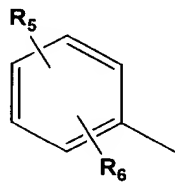
Please substitute the following claim 1 for the pending claim 1:

1. (Once amended) A compound having the Formula I:



or a pharmaceutically acceptable salt, prodrug or solvate thereof, wherein:



Y is  or R<sub>7</sub>,

provided that when Y is R<sub>7</sub>, R<sub>1</sub> is aminocarbonyl;

BT  
Sub  
CI

A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>, or A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazolyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

Sub C1  
each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, amino, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

B1  
R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

R<sub>7</sub> is an optionally substituted alkyl;

R<sub>8</sub> is selected from the group consisting of alkyl, alkenyl, alkynyl, OR<sub>9</sub>, amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that R<sub>8</sub> is not OR<sub>9</sub> when R<sub>1</sub> is SO<sub>2</sub>R<sub>8</sub>; wherein

R<sub>9</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

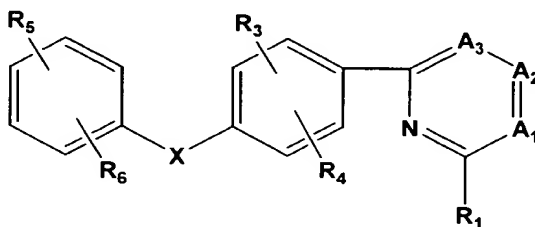
X is one of O, S, NH, or CH<sub>2</sub> when Y is other than R<sub>7</sub>; or

X is one of O, S, NH, CH<sub>2</sub> or absent when Y is R<sub>7</sub>;

with the proviso that R<sub>2</sub> is not methoxy if R<sub>5</sub> is trifluoromethyl, R<sub>6</sub> is H, X is O and R<sub>1</sub> is SO<sub>2</sub>CH<sub>2</sub>Ph.

Please substitute the following claim 2 for the pending claim 2:

2. (Once Amended) A compound having the Formula II:



or a pharmaceutically acceptable salt, prodrug or solvate thereof, wherein:

A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>, or A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazoliny, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, amino, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol; and

R<sub>8</sub> is selected from the group consisting of alkyl, alkenyl, alkynyl, OR<sub>9</sub>, amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that R<sub>8</sub> is not OR<sub>9</sub> when R<sub>1</sub> is SO<sub>2</sub>R<sub>8</sub>; wherein

R<sub>9</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

X is one of O, S, NH, or CH<sub>2</sub>;

Sub  
C2

B2

Sub  
C<sup>2</sup> B2 with the proviso that R<sub>2</sub> is not methoxy if R<sub>5</sub> is trifluoromethyl, R<sub>6</sub> is H, X is O  
and R<sub>1</sub> is SO<sub>2</sub>CH<sub>2</sub>Ph.

Please substitute the following claim 3 for the pending claim 3:

D4  
B3 [ 3. (Once Amended) The compound of claim 2, wherein A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub>  
are CR<sub>2</sub>.

Please substitute the following claim 18 for the pending claim 18:

D4  
B4 [ 18. (Once Amended) The compound of claim 17, wherein A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub>  
are CR<sub>2</sub>.

Please substitute the following claim 26 for the pending claim 26:

D4  
B5 [ 26. (Once Amended) The compound of claim 17, wherein  
X is O;  
A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>; or A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>; wherein  
R<sub>2</sub> is selected from the group consisting of hydrogen, alkyl, alkoxy, aminoalkyl,  
and aminocarbonyl;  
R<sub>3</sub> and R<sub>4</sub> are both hydrogen;  
R<sub>5</sub> and R<sub>6</sub> are independently selected from the group consisting of hydrogen,  
alkyl, halogen, haloalkyl, and nitro; and  
R<sub>8</sub> is amino.

Please substitute the following claim 32 for the pending claim 32:

D4  
B6 [ 32. (Once Amended) The compound of claim 31, wherein R<sub>2</sub> is selected from  
the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aminoalkyl, amino,  
hydroxyalkyl, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl,  
aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and  
aralkylcarbonylamino.

Please substitute the following claim 39 for the pending claim 39:

D4  
B7

39. (Once Amended) A compound of claim 2, wherein said compound is:

4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(4-nitrophenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(4-methoxyphenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(4-trifluoromethylphenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(3-chloro-2-cyanophenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(2,4-difluorophenoxy)phenyl]pyrimidine-2-carboxamide;  
4-[4-(2-chloro-4-fluorophenoxy)phenyl]pyrimidine-2-carboxamide;  
1-[4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-yl]-ethanone;  
2-[4-(4-fluorophenoxy)phenyl]pyrimidine-4-carboxamide;  
2-[4-(4-fluorophenoxy)phenyl]-4-methylpyrimidine;  
2-methyl-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid sodium salt;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid methylamide;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid dimethylamide;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid *tert*-butylamide;  
2-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-4-carboxamide;  
2-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-4-carboxylic acid;  
2-(4-phenoxyphenyl)-6-(dimethylamino)pyrimidine-4-carboxylic acid dimethylamide;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid 2-hydroxyethylamide;  
4-[4-(4-fluorophenoxy)phenyl]pyrimidine-2-carboxylic acid hydroxymethyleneamide;  
2-(2-hydroxyprop-2-yl)-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
4-[4-(2,4-difluorophenoxy)phenyl]pyrimidine-2-carboxylic acid 2-morpholin-4-yl-ethyl amide;  
2-(4,5-dihydro-1H-imidazol-2-yl)-4-[4-(4-fluorophenoxy)phenyl]-pyrimidine;

D4)

B7

2-(3-pyrazolyl)-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
2-(5-isoxazolyl)-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
2-(1-methyl-3-pyrazolyl)-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
2-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-4-carboxylic acid  
methanamide;  
3-dimethylamino-1-{4-[4-(4-fluorophenoxy)phenyl]pyrimidin-2-yl}propenone;  
2-thiomethyl-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
2-methanesulfonyl-4-[4-(4-fluorophenoxy)phenyl]pyrimidine;  
2-[4-(4-chloro-2-fluorophenoxy)phenyl]-4-methyl-pyrimidine;  
4-[4-(4-fluorophenoxy)-3-fluorophenyl]pyrimidine-2-carboxamide; or  
2-[4-(4-fluorophenoxy)-3-fluorophenyl]pyrimidine-4-carboxamide;  
or a pharmaceutically acceptable salt, prodrug or solvate thereof.

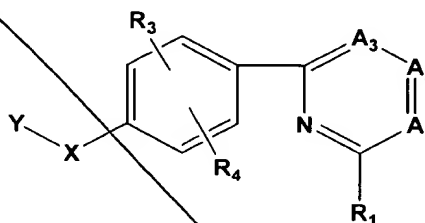
Please substitute the following claim 42 for the pending claim 42:

B8)

42. (Once Amended) The compound of claim 41, wherein A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>.

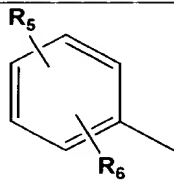
Please substitute the following claim 50 for the pending claim 50:

50. (Once Amended) A pharmaceutical composition, comprising the compound of formula:



or a pharmaceutically acceptable salt, prodrug or solvate thereof, wherein:

B9  
Sub  
C3



Y is or R<sub>7</sub>, provided that when Y is R<sub>7</sub>, R<sub>1</sub> is aminocarbonyl;

A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>; or A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazolynyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, amino, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

R<sub>7</sub> is an optionally substituted alkyl;

R<sub>8</sub> is selected from the group consisting of alkyl, alkenyl, alkynyl, OR<sub>9</sub>, amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that R<sub>8</sub> is not OR<sub>9</sub> when R<sub>1</sub> is SO<sub>2</sub>R<sub>8</sub>; wherein

R<sub>9</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

X is one of O, S, NH, or CH<sub>2</sub> when Y is other than R<sub>7</sub>; or

X is one of O, S, NH, CH<sub>2</sub> or absent when Y is R<sub>7</sub>; and a pharmaceutically acceptable carrier or diluent.

Sub  
C3

B9

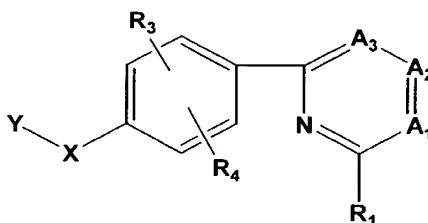
Please add the following claims 59-68:

59. (New) A compound of claim 2, wherein said compound is 2-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-4-carboxamide or a pharmaceutically acceptable salt, prodrug or solvate thereof.

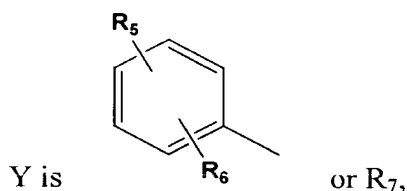
60. (New) A compound of claim 59, which is 2-[4-(4-chloro-2-fluorophenoxy)phenyl]pyrimidine-4-carboxamide.

61. (New) A pharmaceutical composition, comprising the compound of claim 59 or claim 60 and a pharmaceutically acceptable carrier or diluent.

62. (New) A compound of claim 1 having the Formula I:



or a pharmaceutically acceptable salt or solvate thereof, wherein:



provided that when Y is R7, R1 is aminocarbonyl;

A1 is N and A2 and A3 are CR<sub>2</sub>; or A3 is N and A1 and A2 are CR<sub>2</sub>;

R1 is selected from the group consisting an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazolynyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, amino, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and

D4  
B10



aralkylcarbonylamino; or  $R_1$  and  $R_2$  are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

$R_3$ ,  $R_4$ ,  $R_5$ , and  $R_6$  are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

$R_7$  is an optionally substituted alkyl;

$R_8$  is selected from the group consisting of alkyl, alkenyl, alkynyl,  $OR_9$ , amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that  $R_8$  is not  $OR_9$  when  $R_1$  is  $SO_2R_8$ ; wherein

$R_9$  is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

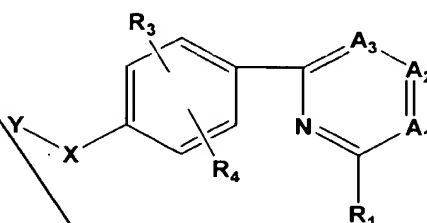
X is one of O, S, NH, or  $CH_2$  when Y is other than  $R_7$ ; or

X is one of O, S, NH,  $CH_2$  or absent when Y is  $R_7$ ;

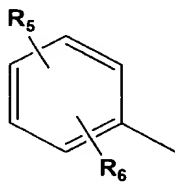
with the proviso that  $R_2$  is not methoxy if  $R_5$  is trifluoromethyl,  $R_6$  is H, X is O and  $R_1$  is  $SO_2CH_2Ph$ .

63. (New)

A compound of claim 1 having the Formula I:



or a pharmaceutically acceptable salt, prodrug or solvate thereof, wherein:



Y is

or  $R_7$ ,

provided that when Y is  $R_7$ ,  $R_1$  is aminocarbonyl;

$A_1$  is N and  $A_2$  and  $A_3$  are  $CR_2$ ; or  $A_3$  is N and  $A_1$  and  $A_2$  are  $CR_2$ ;

dy

B10

Sub  
CS

*Sub CS*  
*B10*  
R<sub>1</sub> is selected from the group consisting an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazolyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

R<sub>7</sub> is an optionally substituted alkyl;

R<sub>8</sub> is selected from the group consisting of alkyl, alkenyl, alkynyl, OR<sub>9</sub>, amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that R<sub>8</sub> is not OR<sub>9</sub> when R<sub>1</sub> is SO<sub>2</sub>R<sub>8</sub>; wherein

R<sub>9</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

X is one of O, S, NH, or CH<sub>2</sub> when Y is other than R<sub>7</sub>; or

X is one of O, S, NH, CH<sub>2</sub> or absent when Y is R<sub>7</sub>;

with the proviso that R<sub>2</sub> is not methoxy if R<sub>5</sub> is trifluoromethyl, R<sub>6</sub> is H, X is O and R<sub>1</sub> is SO<sub>2</sub>CH<sub>2</sub>Ph.

64. (New) The compound of claim 2, wherein A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are

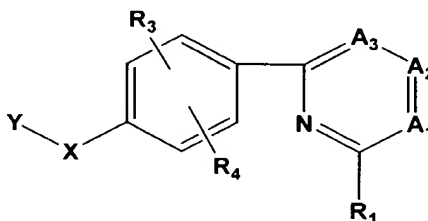
CR<sub>2</sub>.

65. (New) The compound of claim 17, wherein A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are

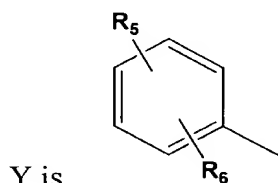
CR<sub>2</sub>.

66. (New) The compound of claim 41, wherein A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>.

67. (New) A pharmaceutical composition of claim 50, comprising the compound of formula:



or a pharmaceutically acceptable salt or solvate thereof, wherein:



Y is

or R<sub>7</sub>, provided that when Y is R<sub>7</sub>, R<sub>1</sub> is

aminocarbonyl;

A<sub>1</sub> is N and A<sub>2</sub> and A<sub>3</sub> are CR<sub>2</sub>; or A<sub>3</sub> is N and A<sub>1</sub> and A<sub>2</sub> are CR<sub>2</sub>;

R<sub>1</sub> is selected from the group consisting of an optionally substituted alkyl, amino, alkylthio, C(O)R<sub>8</sub>, SO<sub>2</sub>R<sub>8</sub>, OC(O)NH<sub>2</sub>, 2-imidazolyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each R<sub>2</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, amino, alkylamino, dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

R<sub>7</sub> is an optionally substituted alkyl;

D4  
1310

*D41*

$R_8$  is selected from the group consisting of alkyl, alkenyl, alkynyl,  $OR_9$ , amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that  $R_8$  is not  $OR_9$  when  $R_1$  is  $SO_2R_8$ ; wherein

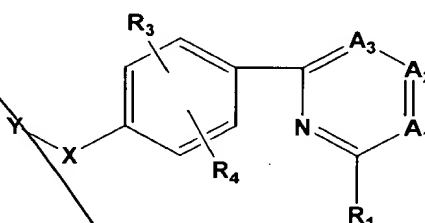
$R_9$  is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

X is one of O, S, NH, or  $CH_2$  when Y is other than  $R_7$ ; or

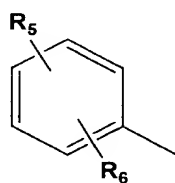
*B10*

X is one of O, S, NH,  $CH_2$  or absent when Y is  $R_7$ ; and a pharmaceutically acceptable carrier or diluent.

68. (New) A pharmaceutical composition of claim 50, comprising the compound of formula:



or a pharmaceutically acceptable salt, prodrug or solvate thereof, wherein:



Y is

or  $R_7$ , provided that when Y is  $R_7$ ,  $R_1$  is

aminocarbonyl;

$A_1$  is N and  $A_2$  and  $A_3$  are  $CR_2$ ; or  $A_3$  is N and  $A_1$  and  $A_2$  are  $CR_2$ ;

$R_1$  is selected from the group consisting an optionally substituted alkyl, amino, alkylthio,  $C(O)R_8$ ,  $SO_2R_8$ ,  $OC(O)NH_2$ , 2-imidazolynyl, 2-imidazolyl, 3-pyrazolyl, 5-isoxazolyl, and 3-(1,2,4)-triazolyl;

each  $R_2$  is selected from the group consisting of hydrogen, optionally substituted alkyl, alkenyl, or alkynyl, halogen, hydroxy, cycloalkyl, cyano, alkylamino,

Sub  
C6

dialkylamino, alkoxy, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, aralkylaminocarbonyl, alkylcarbonylamino, arylcarbonylamino, and aralkylcarbonylamino; or R<sub>1</sub> and R<sub>2</sub> are taken together with the carbon atoms to which they are attached to form a heterocyclic ring;

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R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, halogen, haloalkyl, hydroxyalkyl, hydroxy, nitro, amino, cyano, amide, carboxyalkyl, alkoxyalkyl, ureido, acylamino, thiol, acyloxy, azido, alkoxy, carboxy, carbonylamido and alkylthiol;

R<sub>7</sub> is an optionally substituted alkyl;

R<sub>8</sub> is selected from the group consisting of alkyl, alkenyl, alkynyl, OR<sub>9</sub>, amino, alkylamino, dialkylamino, alkenylamino, dialkylaminoalkenyl, dialkylaminoalkylamino, dialkylaminoalkenylamino, alkylaminoalkenyl-amino, hydroxyaminoalkenylamino, cycloalkyl, heterocycloalkyl, cycloalkylalkylamino, heterocycloalkylamino, aryl, arylalkyl, arylalkenyl, arylalkynyl, and arylalkylamino, all of which can be optionally substituted, provided that R<sub>8</sub> is not OR<sub>9</sub> when R<sub>1</sub> is SO<sub>2</sub>R<sub>8</sub>; wherein

R<sub>9</sub> is selected from the group consisting of hydrogen, optionally substituted alkyl, and an alkali metal; and

X is one of O, S, NH, or CH<sub>2</sub> when Y is other than R<sub>7</sub>; or

X is one of O, S, NH, CH<sub>2</sub> or absent when Y is R<sub>7</sub>; and a pharmaceutically acceptable carrier or diluent.